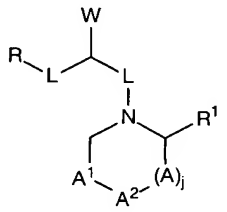
therefore intended to cover in the appended claims all such changes and modifications that are within the scope of this invention.

WHAT IS CLAIMED IS:

1. A compound, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein L represents linking units each of which is independently selected from the group consisting of:

a) $-(R^2)_p(CH=CH)_q-$;

b) $-(R^2)_y(X)_zC(Y)_w(X)_z(R^2)_y-$;

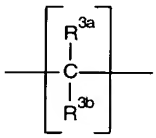
c) $-(R^2)_y(X)_zS(Y)_k(X)_z(R^2)_y-$;

d) $-(R^2)_y(Z)_mNR^4(Z)_m(R^2)_y-$;

e) $-(R^2)_y(O)_zP(T)_k(O)_z(R^2)_y-$;

wherein T is =O, $-OR^4$, and mixtures thereof; wherein X is –O–, –S–, $-NR^4-$; Y is =O, =S, $=NR^4$, $-R^4$, and mixtures thereof; Z is =N–, $-NR^4-$, and mixtures thereof; the index k is from 0 to 2; the index m is 0 or 1; the index p is from 0 to 12; the index q is from 0 to 3; the index w is from 0 to 2; the index y is 0 or 1; the index z is 0 or 1;

each R^2 is independently a substituted or unsubstituted methylene unit represented by the formula:



wherein R^{3a} and R^{3b} are each independently selected from the group consisting of:

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocycle;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

xxxii) an R^{3a} and an R^{3b} unit from the same carbon atom can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 8 atoms;

xxxiii) an R^{3a} or R^{3b} unit from a first R^2 unit can be taken together with an R^{3a} or R^{3b} unit from a second R^2 unit to form a carbocyclic or heterocyclic ring comprising from 3 to 8 atoms;

xxxiv) and mixtures thereof;

R^9 is hydrogen, fluorine, chlorine, bromine, iodine, and mixtures thereof; each R^{11} is hydrogen or R^{10}; the index n has the value from 0 to 10.

R^4 units are hydrocarbyl units each of which is independently selected from the group consisting of:

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocycle;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

R is a substituted or unsubstituted hydrocarbyl unit selected from the group consisting of:

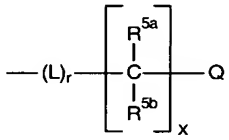
a) non-aromatic carbocyclic rings;

b) aromatic carbocyclic rings;

c) non-aromatic heterocyclic rings;

d) aromatic heterocyclic rings;

W is a pendant unit having the formula:



wherein the index r is 0 or 1 and the index x is from 0 to 10;

Q is:

a) hydrogen;

b) $-N(R^4)_2$;

c) $-OR^4$;

d) a unit which comprises a substituted or unsubstituted unit selected from the group consisting of:

i) non-aromatic carbocyclic rings;

ii) aromatic carbocyclic rings;

iii) non-aromatic heterocyclic rings;

iv) aromatic heterocyclic rings;

wherein the number of rings is from 1 to 3;

R^{5a} and R^{5b} are each independently selected from the group consisting of

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocyclyl;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

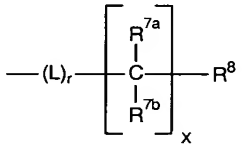
xxxii) R^{5a} and R^{5b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 10 atoms;

xxxiii) and mixtures thereof;

R^1 is substituted or unsubstituted C_1-C_{12} linear or branched alkyl, C_3-C_8 cyclic alkyl, C_2-C_{12} linear or branched alkenyl, or $-[C(R^9)_2]_nC(R^9)_3$; R^9 is hydrogen, fluorine, chlorine, bromine, iodine, and mixtures thereof; the index n has the value from 0 to 10 as defined herein above;

A, A^1, and A^2 are ring components each of which is independently selected from the group consisting of $-C(=NR^6)-$, $-C(=O)-$, $-C(=S)-$, $-C(R^6)_2-$, $-C(R^6)_2C(R^6)_2-$, $-CR^6=$, $-N=$, $-NR^6-$, or two A units can be taken together with an adjacent atom or a unit to form a bond having the formula $-N=N-$, $-N-NR^6-$, $-CR^6=N-$, $-C=N-$, and mixtures thereof; the index j is 0 or 1;

R^6 is hydrogen, R^4, or the pendant unit W^1 having the formula:



wherein the index r is equal to 0 or 1;

R^{7a} and R^{7b} are each independently selected from the group consisting of

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

- a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;
- b) C_3-C_{12} substituted or unsubstituted cycloalkyl;
- c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;
- d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;
- e) C_6-C_{12} substituted or unsubstituted aryl;
- f) C_1-C_{12} substituted or unsubstituted heterocyclyl;
- g) C_3-C_{12} substituted or unsubstituted heteroaryl;
- h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) -$[C(R^{11})_2]_nNR^4CN$;

xv) -$[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) -$[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) -$[C(R^{11})_2]_nNHOR^4$;

xviii) -$[C(R^{11})_2]_nNCS$;

xix) -$[C(R^{11})_2]_nNO_2$;

xx) -$[C(R^{11})_2]_nOR^4$;

xxi) -$[C(R^{11})_2]_nOCN$;

xxii) -$[C(R^{11})_2]_nOCF_3$, -$[C(R^{11})_2]_nOCCl_3$, -$[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) -$[C(R^{11})_2]_nSO_3M$;

xxv) -$[C(R^{11})_2]_nOSO_3M$;

xxvi) -$[C(R^{11})_2]_nSCN$;

xxvii) -$[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) -$[C(R^{11})_2]_nSO_2R^4$;

xxix) -$[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) -$[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula –$[C(R^9)_2]_nC(R^9)_3$;

xxxii) and mixtures thereof;

R^8 is selected from the group consisting of:

i) hydrogen;

ii) C_3-C_8 non-aromatic carbocyclic rings;

iii) C_6-C_{14} aromatic carbocyclic rings;

iv) C_1-C_7 non-aromatic heterocyclic rings;

v) C_3-C_{13} aromatic heterocyclic rings;

vi) -$C(Y)R^4$;

vii) -$C(Y)_2R^4$;

viii) -$C(Y)N(R^4)_2$;

ix) -$C(Y)NR^4N(R^4)_2$;

x) -CN;

xi) -CNO;

xii) -$[C(R^9)_2]C(R^9)_2$;

xiii) -$N(R^4)_2$;

xiv) -NR^4CN;

xv) -$NR^4C(Y)R^4$;

xvi) -$NR^4C(Y)N(R^4)_2$;

xvii) -$NHN(R^4)_2$;

xviii) -$NHOR^4$;

xix) -NCS;

xx) $-NO_2$;

xxi) $-OR^4$;

xxii) -OCN;

xxiii) $-OCF_3$, $-OCCl_3$, $-OCBr_3$;

xxiv) -F, -Cl, -Br, -I, and mixtures thereof;

xxv) -SCN;

xxvi) $-SO_3M$;

xxvii) $-OSO_3M$;

xxviii) $-SO_2N(R^4)_2$;

xxix) $-SO_2R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxxi) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxii) and mixtures thereof;

each R^{10} is independently selected from:

i) $-[C(R^4)_2]_p(CH=CH)_qR^4$; wherein p is from 0 to 12; q is from 0 to 12;

ii) $-C(X)R^4$;

iii) $-C(X)_2R^4$;

iv) $-C(X)CH=CH_2$;

v) $-C(X)N(R^4)_2$;

vi) $-C(X)NR^4N(R^4)_2$;

vii) -CN;

viii) -CNO;

ix) $-CF_3$, $-CCl_3$, $-CBr_3$;

x) $-N(R^4)_2$;

xi) $-NR^4CN$;

xii) $-NR^4C(X)R^4$;

xiii) $-NR^4C(X)N(R^4)_2$;

xiv) $-NHN(R^4)_2$;

xv) $-NHOR^4$;

xvi) -NCS;

xvii) $-NO_2$;

xviii) $-OR^4$;

xix) -OCN;

xx) $-OCF_3$, $-OCCl_3$, $-OCBr_3$;

xxi) -F, -Cl, -Br, -I, and mixtures thereof;

xxii) -SCN;

xxiii) $-SO_3M$;

xxiv) $-OSO_3M$;

xxv) $-SO_2N(R^4)_2$;

xxvi) $-SO_2R^4$;

xxvii) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

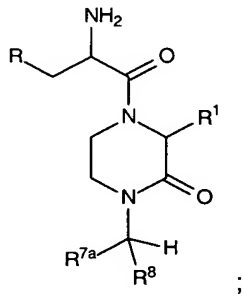
xxviii) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxix) and mixtures thereof;

wherein M is hydrogen, or a salt forming cation.

2. A compound according to Claim 1 having the formula selected from:

i)



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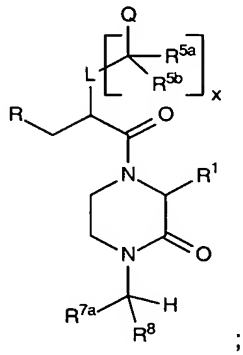
ii)



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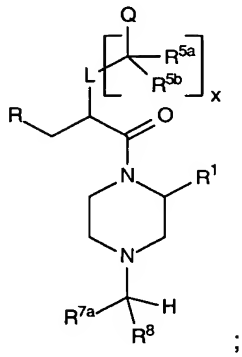
iii)



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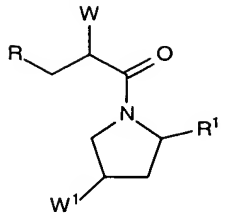
iv)



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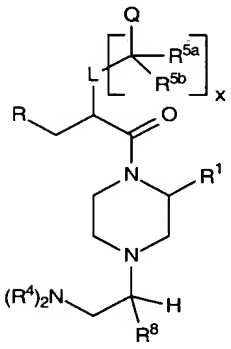
v)



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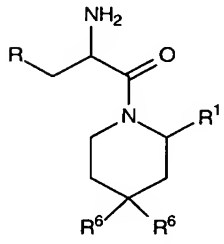
vi)



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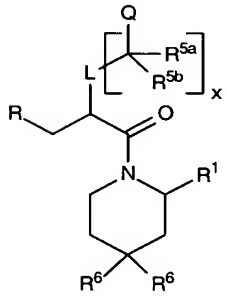
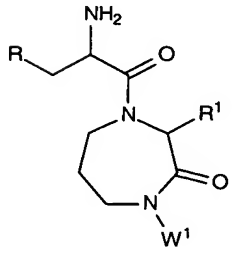
vii)



; and

viii)

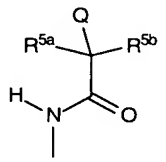


.

3. A compound according to Claim 2 wherein R is substituted or unsubstituted phenyl.

4. A compound according to Claim 3 wherein R is phenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, and 4-chlorophenyl.

5. A compound according to Claim 2 wherein W has the formula:

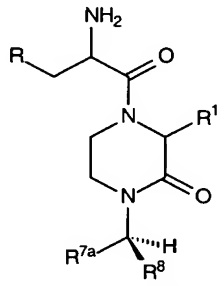


R^{5a} and R^{5b} are each independently hydrogen, $-NH_2$, $-CH_3$, and mixtures thereof.

6. A compound according to Claim 5 wherein Q is a substituted or unsubstituted nitrogen atom-containing heterocyclic units selected from the group consisting of pyrrolyl, 2H-pyrrolyl, 3H-pyrrolyl, pyrazolyl, 2H-imidazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, pyridinyl, pyridazinyl, pyrimidinyl, pyrazinyl, s-triazinyl, pyrrolidinyl, and pyrrolinyl.

7. A compound according to Claim 5 wherein Q is $-NH_2$, R^{5a} and R^{5b} are each methyl.

8. A compound according to Claim 1 having the formula:



wherein R is selected from the group consisting of phenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, and 4-chlorophenyl;

R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyl;

R^{7a} is selected from the group consisting of

i) hydrogen;

ii) $-CO_2H$;

iii) $-CO_2CH_3$;

iv) $-CONH_2$;

v) $-CONHCH_3$;

vi) $-CON(CH_3)_2$;

vii) $-CONH(CH_2CH_2F)$;

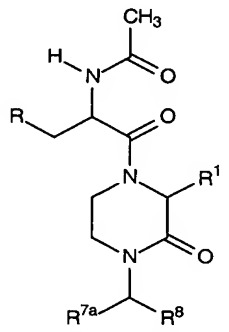
viii) $-CONCH(CH_3)_2$;

ix) $-CONH(C_3H_5)$;

x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-fluorophenyl)-methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

9. A compound according to Claim 1 having the formula:



wherein R is selected from the group consisting of phenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, and 4-chlorophenyl;

R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyl;

R^{7a} is selected from the group consisting of

i) hydrogen;

ii) $-CO_2H$;

ii) $-CO_2CH_3$;

iv) $-CONH_2$;

v) $-CONHCH_3$;

vi) $-CON(CH_3)_2$;

vii) $-CONH(CH_2CH_2F)$;

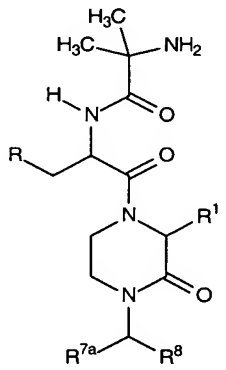
viii) $-CONCH(CH_3)_2$;

ix) $-CONH(C_3H_5)$;

x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-fluorophenyl)-methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

10. A compound according to Claim 1 having the formula:



wherein R is selected from the group consisting of phenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, and 4-chlorophenyl;

R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyl;

R^{7a} is selected from the group consisting of:

i) hydrogen;

ii) $-CO_2H$;

iii) $-CO_2CH_3$;

iv) $-CONH_2$;

v) $-CONHCH_3$;

vi) $-CON(CH_3)_2$;

vii) $-CONH(CH_2CH_2F)$;

viii) $-CONCH(CH_3)_2$;

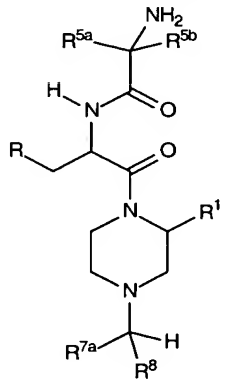
ix) $-CONH(C_3H_5)$;

x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-

fluorophenyl)-methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

11. A compound according to Claim 1 having the formula:



wherein R is selected from the group consisting of phenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, and 4-chlorophenyl;

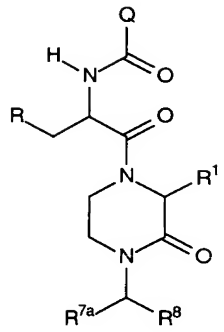
R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyl;

R^{7a} is selected from the group consisting of

i) hydrogen;
ii) $-CO_2H$;
iii) $-CO_2CH_3$;
iv) $-CONH_2$;
v) $-CONHCH_3$;
vi) $-CON(CH_3)_2$;
vii) $-CONH(CH_2CH_2F)$;
viii) $-CONCH(CH_3)_2$;
ix) $-CONH(C_3H_5)$;
x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-fluorophenyl)-methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

12. A compound according to Claim 1 having the formula:



wherein Q is selected from the group consisting of pyrrolidin-2-yl, 1-aminocycloprop-1-yl, azetidin-2-yl, piperidin-4-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, thiophen-2-yl, furan-2-yl, pyran-4-yl, isoquinolin-1-yl, isoquinolin-3-yl, tetrahydroisoquinolin-1-yl, tetrahydroisoquinolin-3-yl, isoquinolin-2-yl, and isoquinolin-3-yl.

R is selected from the group consisting of phenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, and 4-chlorophenyl;

R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyl;

R^{7a} is selected from the group consisting of

i) hydrogen;

ii) $-CO_2H$;

iii) $-CO_2CH_3$;

iv) $-CONH_2$;

v) $-CONHCH_3$;

vi) $-CON(CH_3)_2$;

vii) $-CONH(CH_2CH_2F)$;

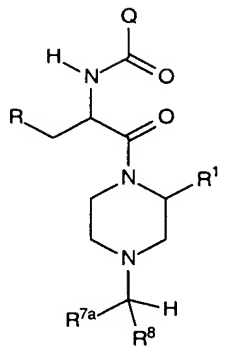
viii) $-CONCH(CH_3)_2$;

ix) $-CONH(C_3H_5)$;

x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-fluorophenyl)-methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

13. A compound according to Claim 1 having the formula:



wherein Q is selected from the group consisting of pyrrolidin-2-yl, 1-aminocycloprop-1-yl, azetidin-2-yl, piperidin-4-yl, pyridin-2-yl, pyridin-3-yl, pyridin-4-yl, thiophen-2-yl, furan-2-yl, pyran-4-yl, isoquinolin-1-yl, isoquinolin-3-yl, tetrahydroisoquinolin-1-yl, tetrahydroisoquinolin-3-yl, isoquinolin-2-yl, and isoquinolin-3-yl.

R is selected from the group consisting of phenyl, 3-fluorophenyl, 4-fluorophenyl, 3,5-difluorophenyl, and 4-chlorophenyl;

R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyl;

R^{7a} is selected from the group consisting of

i) hydrogen;

ii) $-CO_2H$;

iii) $-CO_2CH_3$;

iv) $-CONH_2$;

v) $-CONHCH_3$;

vi) $-CON(CH_3)_2$;

vii) $-CONH(CH_2CH_2F)$;

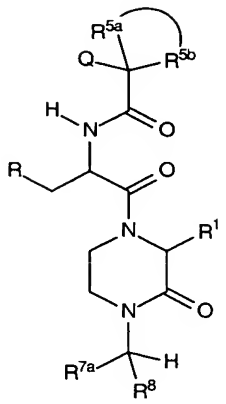
viii) $-CONCH(CH_3)_2$;

ix) $-CONH(C_3H_5)$;

x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-fluorophenyl)-methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

14. A compound according to Claim 1 having the formula:



wherein Q is selected from the group consisting of

i) $-CO_2H$;

i) $-CO_2H$;

ii) $-CO_2CH_3$;

iii) $-CONH_2$; and

iv) $-CONHCH_3$;

R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyl;

R^{5a} and R^{5b} are taken together to form a ring having from 3 to 7 atoms;

R^{7a} is selected from the group consisting of

i) hydrogen;

ii) $-CO_2H$;

iii) $-CO_2CH_3$;

iv) $-CONH_2$;

v) $-CONHCH_3$;

vi) $-CON(CH_3)_2$;

vii) $-CONH(CH_2CH_2F)$;

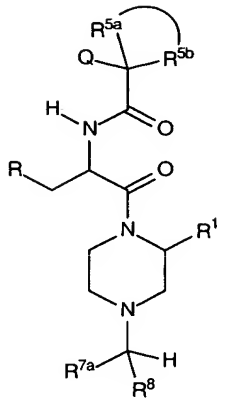
viii) $-CONCH(CH_3)_2$;

ix) $-CONH(C_3H_5)$;

x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-fluorophenyl)-methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

15. A compound according to Claim 1 having the formula:



wherein Q is selected from the group consisting of

i) $-CO_2H$;

i) $-CO_2H$;

ii) $-CO_2CH_3$;

iii) $-CONH_2$; and

iv) $-CONHCH_3$;

R^1 is selected from the group consisting of methyl, ethyl, propyl, *iso*-propyl, butyl, *iso*-butyl, *sec*-butyl, *tert*-butyl, cyclopropyl, cyclopropylmethyl, cyclopentyl, cyclopentylmethyl, cyclohexyl, cyclohexylmethyl, benzyl, allyl, 1-methylallyl, 2-methylallyl, but-2-enyl, and propargyl;

R^{5a} and R^{5b} are taken together to form a ring having from 3 to 7 atoms;

R^{7a} is selected from the group consisting of

i) hydrogen;

ii) $-CO_2H$;

iii) $-CO_2CH_3$;

iv) $-CONH_2$;

v) $-CONHCH_3$;

vi) $-CON(CH_3)_2$;

vii) $-CONH(CH_2CH_2F)$;

viii) $-CONCH(CH_3)_2$;

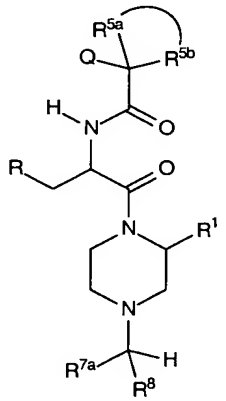
ix) $-CONH(C_3H_5)$;

x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-fluorophenyl)methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

16. A compound according to Claim 1 wherein R^1 is selected from the group consisting of methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, and propoxypropyl.

17. A compound according to Claim 1 having the formula:



wherein Q is selected from the group consisting of

i) $-CO_2H$;

i) $-CO_2H$;

ii) $-CO_2CH_3$;

iii) $-CONH_2$; and

iv) $-CONHCH_3$;

R^1 is selected from the group consisting of methoxymethyl, methoxyethyl, methoxypropyl, ethoxymethyl, ethoxyethyl, ethoxypropyl, propoxymethyl, propoxyethyl, and propoxypropyl;

R^{5a} and R^{5b} are taken together to form a ring having from 3 to 7 atoms;

R^{7a} is selected from the group consisting of

i) hydrogen;

ii) $-CO_2H$;

iii) $-CO_2CH_3$;

iv) $-CONH_2$;

v) $-CONHCH_3$;

vi) $-CON(CH_3)_2$;

vii) $-CONH(CH_2CH_2F)$;

viii) $-CONCH(CH_3)_2$;

ix) $-CONH(C_3H_5)$;

x) $-CONHCH_2(C_3H_5)$;

R^8 is selected from the group consisting of benzyl, (2-chlorophenyl)methyl, (3-chlorophenyl)methyl, (4-chlorophenyl)methyl, (3,4-dichlorophenyl)methyl, (2-

fluorophenyl)methyl, (3-fluorophenyl)methyl, (4-fluorophenyl)methyl, and naphthalen-2-ylmethyl.

18. A compound according to Claim 1 selected from the group consiting of:

2-(*S*)-{3-(*S*)-allyl-4-[2-(*R*)-amino-3-(4-fluorophenyl)propionyl]-2-oxo-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-3-(3,4-dichlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-2-oxo-piperazin-1-yl}-N-(2-fluoroethyl)-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-2-oxo-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-chlorophenyl)-propionyl]-3-ethyl-2-oxo-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

3-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-N-methyl-4-naphthalen-2-yl-butyramide;

2-{4-[2-Amino-3-(4-chlorophenyl)-propionyl]-3-ethyl-2-oxo-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-N-(2-fluoroethyl)-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3R-(4-fluorophenyl)-propionyl]-2-oxo-3S-propyl-piperazin-1-yl}-N-methyl-3S-thiazol-4-yl-propionamide;

2-{4-[2-Amino-3R-(4-fluorophenyl)-propionyl]-3S-cyclopropylmethyl-2-oxo-piperazin-1-yl}-N-isopropyl-3S-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-3-(S)-(3,4-dichlorophenyl)-N-isopropyl-propionamide;

2-{4-[2-Amino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-3-(S)-(2-chlorophenyl)-N-isopropyl-propionamide;

2-{4-[2-Amino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-3-(S)-(3-cyano-phenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-3-(S)-(3,4-dimethoxy-phenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-N-isopropyl-3-(S)-p-tolyl-propionamide;

2-{4-[2-Amino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-3-(S)-(4-chlorophenyl)-N-ethyl-propionamide;

N-Allyl-2-{4-[2-amino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-(2-fluoroethyl)-propionamide trifluoroacetate; and

2-{4-[2-Amino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-3-(S)-(4-cyano-phenyl)-N-methyl-propionamide.

19. A compound according to Claim 1 selected from the group consisting of:

2-{4-[2-(2-amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-2-oxo-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-2-oxo-piperazin-1-yl}-N-(2-fluoroethyl)-3-naphthalen-2-yl-propion-amide;

3-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-N-methyl-4-naphthalen-2-yl-butyramide:

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-(2-fluoroethyl)-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(R)-(4-fluorophenyl)-propionyl]-3-(S)-cyclopropylmethyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-3-(4-isopropoxy-phenyl)-N-methyl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-3-(4-benzyloxy-phenyl)-N-methyl-propionamide; and

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-4-(4-chlorophenyl)-N-methyl-butyramide.

20. A compound according to Claim 1 selected from the group consisting of:

1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid [2-[2-cyclopropyl-methyl-4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl)-piperazin-1-yl]-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid (1R-(4-fluorobenzyl)-2-{4-[1-methylcarbamoyl-2S-(4-trifluoromethyl-phenyl)-ethyl]-3-oxo-2S-propyl-piperazin-1-yl}-2-oxo-ethyl)-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[1-allylcarbamoyl-2S-(4-chlorophenyl)-ethyl]-3-oxo-2S-propyl-piperazin-1-yl}-1R-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2S-(4-chlorophenyl)-1-phenylcarbamoyl-ethyl]-3-oxo-2S-propyl-piperazin-1-yl}-1R-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2S-(4-chlorophenyl)-1-ethylcarbamoyl-ethyl]-3-oxo-2S-propyl-piperazin-1-yl}-1S-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-[4-(1-allylcarbamoyl-2S-naphthalen-2-yl-ethyl)-3-oxo-2S-propyl-piperazin-1-yl]-1R-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid {1R-(4-fluorobenzyl)-2-[4S-(2-naphthalen-2-yl-1-phenylcarbamoyl-ethyl)-3-oxo-2S-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid (1-(4-fluorobenzyl)-2-{4-[2-(4-isopropoxy-phenyl)-1-methylcarbamoyl-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-2-oxo-ethyl)-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(4-benzyloxy-phenyl)-1-methylcarbamoyl-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

[2-{4-[2S-(4-Chlorophenyl)-1-isopropylcarbamoyl-ethyl]-3-oxo-2S-propyl-piperazin-1-yl}-1R-(4-fluorobenzyl)-2-oxo-ethyl]-carbamic acid methyl ester;

[2-{4-[2S-(4-Chlorophenyl)-1-isopropylcarbamoyl-ethyl]-3-oxo-2S-propyl-piperazin-1-yl}-1R-(4-fluorobenzyl)-2-oxo-ethyl]-carbamic acid methyl ester;

Pyrrolidine-2-carboxylic acid [2-[4-(1-ethylcarbamoyl-2S-naphthalen-2-yl-ethyl)-3-oxo-2S-propyl-piperazin-1-yl]-1R-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(3,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-[2-methyl-4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl)-piperazin-1-yl]-2-oxo-ethyl}-amide; and

Pyrrolidine-2-carboxylic acid [2-{4-[2-(4-chlorophenyl)-1-(2-fluoroethylcarbamoyl)-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide.

21. A compound according to Claim 1 selected from the group consisting of:

2-{4-[2-Acetylamino-3-(4-fluorophenyl)propionyl]-3-cyclopropyl-methyl-2-oxo-piperazin-1-yl}-*N*-methyl-3-naphthalen-2-yl propionamide;

2-{4-[2-Acetylamino-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[3-(4-Chlorophenyl)-2-(2-methylamino-acetylamino)-propionyl]-3-ethyl-2-oxo-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-N-cyclopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{3-Cyclopropylmethyl-4-[3-(R)-(4-fluorophenyl)-2-(S)-(2-methylamino-acetylamino)-propionyl]-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-N-butyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-N-benzyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-3-(S)-cyclopropylmethyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-chlorophenyl)-propionyl]-3-(S)-cyclopropylmethyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-chlorophenyl)-propionyl]-3-(S)-isobutyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-chlorophenyl)-propionyl]-3-(S)-isopropyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-Amino-N-[2-[2-(S)-cyclopropylmethyl-4-(1-isopropylcarbamoyl-2-(S)-naphthalen-2-yl-ethyl)-3-oxo-piperazin-1-yl]-1R-(4-fluorobenzyl)-2-oxo-ethyl]-2-ethyl-butyramide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-3-(S)-(1H-indol-2-yl)-N-methyl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-3-(S)-isobutyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-3-(S)-isopropyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

Cyclopropanecarboxylic acid [2-[2-(S)-cyclopropylmethyl-4-(S)-(1-isopropyl-carbamoyl-2-naphthalen-2-yl-ethyl)-3-oxo-piperazin-1-yl]-1-(R)-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

2-{4-[2-Acetylamino-3--(R)-(4-chlorophenyl)-propionyl]-3-(S)-cyclohexylmethyl-2-oxo-piperazin-1-yl}-N-isopropyl-3S-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-3-(S)-butyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-3-(S)-propyl-piperazin-1-yl}-N-methyl-3-(S)--naphthalen-1-yl-propionamide;

2-{3-(S)-Cyclopropylmethyl-4-[3-(R)-(4-fluorophenyl)-2-(2-methoxy-acetylamino)-propionyl]-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{3-(S)-Cyclopropylmethyl-4-[2-(2,2-difluoro-acetylamino)-3-(R)-(4-fluorophenyl)-propionyl]-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide;

2-{4-[2-(2-Cyano-acetylamino)-3-(R)-(4-fluorophenyl)-propionyl]- 3-(S)-cyclopropyl-methyl-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide; and

2-{3-Cyclopropylmethyl-4-[3-(R)-(4-fluorophenyl)-2S-(2-methylamino-acetylamino)-propionyl]-2-oxo-piperazin-1-yl}-N-isopropyl-3-(S)-naphthalen-2-yl-propionamide.

22. A compound according to Claim 1 selected from the group consisting of:

2-{4-[2-(2-amino-2-mmethyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-2-oxo-piperazin-1-yl}-3-naphthalen-2-yl-propionic acid methyl ester;

2-{4-[2-(2-amino-2-mmethyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-2-oxo-piperazin-1-yl}-3-naphthalen-2-yl-propionic acid;

N-[2-{4-[2-(4-Chlorophenyl)-1-methylcarbamoyl-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-isonicotinamide;

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(4-chlorophenyl)-1-methylcarbamoyl-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Methylamino-cyclopropanecarboxylic acid [2-{4-[2-(4-chlorophenyl)-1-methylcarbamoyl-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(2,4-dichlorophenyl)-1-methyl-carbamoyl-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Methylamino-cyclopropanecarboxylic acid [2-{4-[2-(2,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-3-oxo-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

2-{4-[2-Amino-3-(4-chlorophenyl)-propionyl]-3-ethyl-2-oxo-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-Acetylamino-3-(4-fluorophenyl)propionyl]-3-methyl-2-oxo-piperazin-1-yl}-*N*-methyl-3-naphthalen-2-yl propionamide;

2-{4-[2-Acetylamino-3-(4-chlorophenyl)propionyl]-3-methyl-2-oxo-piperazin-1-yl}-*N*-methyl-3-naphthalen-2-yl propionamide;

2-{4-[2-Acetylamino-3-(4-fluorophenyl)propionyl]-3-ethyl-2-oxo-piperazin-1-yl}-*N*-methyl-3-naphthalen-2-yl propionamide;

2-{4-[2-Acetylamino-3-(4-chlorophenyl)propionyl]-3-ethyl-2-oxo-piperazin-1-yl}-*N*-methyl-3-naphthalen-2-yl propionamide;

2-{4-[2-Acetylamino-3-(4-fluorophenyl)propionyl]-3-propyl-2-oxo-piperazin-1-yl}-*N*-methyl-3-naphthalen-2-yl propionamide;

2-{4-[2-Acetylamino-3-(4-fluorophenyl)propionyl]-3-cyclopropylmethyl-2-oxo-piperazin-1-yl}-*N*-methyl-3-naphthalen-2-yl propionamide

2-{4-[2-Acetylamino-3-(4-fluorophenyl)propionyl]-3-(1-methylethyl)-2-oxo-piperazin-1-yl}-*N*-methyl-3-naphthalen-2-yl propionamide;

2-{4-[2-Acetylamino-3-(4-fluorophenyl)propionyl]-3-(1-methylethyl)-2-oxo-piperazin-1-yl}-*N*-cyclopropyl-3-naphthalen-2-yl propionamide;

2-{4-[2-Acetylamino-3-(4-chlorophenyl)propionyl]-3-propyl-2-oxo-piperazin-1-yl}-*N*-cyclopropyl-3-naphthalen-2-yl propionamide;

2-Amino-N-{1-(4-chlorobenzyl)-2-[4-(2-naphthalen-2-yl-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-2-methyl-propionamide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-[4-(2-naphthalen-2-yl-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

N-(2-Fluoroethyl)-2-{4-[3-(4-fluorophenyl)-2-isopropylamino-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-3-naphthalen-2-yl-propionamide;

2-{4-[2-Ethylamino-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-N-(2-fluoroethyl)-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-N-(2-fluoroethyl)-3-naphthalen-2-yl-propionamide; and

N-(2-Fluoroethyl)-2-{4-[3-(4-fluorophenyl)-2-methylamino-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-3-naphthalen-2-yl-propionamide.

23. A compound according to Claim 1 selected from the group consisting of:

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-ethyl-piperazin-1-yl}-3-naphthalen-2-yl-propionamide;

2-{4-[2-amino-3-(4-fluorophenyl)-propionyl]-3-ethyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propioamide;

2-{4-[2-amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-amino-1-[4-(2-dimethylamino-1-naphthalen-2-ylmethyl-ethyl)-2-propyl-piperazin-1-yl]-3-(4-fluorophenyl)-propan-1-one;

2-{4-[2-amino-3-(4-chlorophenyl)-propionyl]-3-ethyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propioamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(2-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(2,4-dichlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-(2-fluoroethyl)-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(2-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(4-fluorophenyl)-N-methyl-propionamide;
2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3,4-difluorophenyl)-N-methyl-propionamide;
2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3,4-dichlorophenyl)-N-methyl-propionamide;
2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-piperazin-1-yl}-N-isopropyl-3-naphthalen-2-yl-propionamide;
2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3,4-dichlorophenyl)-N-methyl-propionamide; and
2-{4-Amino-3-(4-fluorophenyl)-propionyl]-3-ethyl-piperazin-1-yl}-3-(3,4-dichlorophenyl)-N-methylpropionamide.

24. A compound according to Claim 1 selected from the group consisting of:
2-{4-[2-(2-amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-ethyl-piperazine-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;
2-{4-[2-(2-amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-ethyl-piperazin-1-yl}-3-naphthalen-2-yl-propionamide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-ethyl-piperazin-1-yl}-3-(3,4-dichlorophenyl)-N-methyl-propionamide;
2-{4-[2-(2-amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-methyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-methyl-propionamide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-methyl-piperazin-1-yl}-3-(3,4-dichlorophenyl)-N-methyl-propionamide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3-chlorophenyl)-N-methyl-propionamide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-methyl-propionamide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(2-chlorophenyl)-N-methyl-propionamide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(2,4-dichlorophenyl)-N-methyl-propionamide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-4-(4-chlorophenyl)-N-methyl-butyramide;
2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-methyl-piperazin-1-yl}-3-(2-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(2-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(4-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3,4-difluorophenyl)-N-methyl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(2,5-difluorophenyl)-N-methyl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-piperazin-1-yl}-N-isopropyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-cyclopropylmethyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3,4-dichlorophenyl)-N-(2-fluoroethyl)-propionamide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(3,4-dichlorophenyl)-N-isopropyl-propionamide; and

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-(2-fluoroethyl)-propionamide.

25. A compound according to Claim 1 selected from the group consisting of:

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-[2-ethyl-4-(1-methyl-carbamoyl-2-naphthalen-2-yl-ethyl) piperazin-1-yl]-2-oxo-ethyl]-amide;

2-[2-[2-Ethyl-4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl) piperazin-1-yl]-1-(4-fluorobenzyl)-2-oxo-ethylcarbamoyl]-pyrrolidine-1-carboxylic acid *tert*-butyl ester;

5-Oxo-pyrrolidine-2-carboxylic acid[2-[2-ethyl-4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl)-piperazine-1-yl]-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Azetidine-2-carboxylic acid [2-[2-ethyl-4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl)-piperazin-1-yl]-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Azetidine-3-carboxylic acid [2-[2-ethyl-4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl)-piperazin-1-yl]-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

N-[2-{4-[2-(4-Chlorophenyl)-1-methylcarbamoyl-ethyl]-2-methyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-isonicotinamide;

N-[2-{4-[2-(3,4-Dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-ethyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-isonicotinamide;

Pyrrolidine-2-carboxylic acid[2-{4-[2-(3,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-methyl-piperazine-1-yl]-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid[2-{4-[2-(4-chlorophenyl)-1-methylcarbamoyl-ethyl]-2-methyl-piperazine-1-yl]-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(3,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-ethyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Amino-Cyclopropanecarboxylic acid [2-{4-[2-(3,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-ethyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

N-[2-{4-[2-(4-Chlorophenyl)-1-(2-fluoroethylcarbamoyl)-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-isonicotinamide;

N-[2-{4-[2-(2,4-Dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-isonicotinamide;

Pyrrolidine-2-carboxylic acid [2-{4-[3-(4-chlorophenyl)-1-methylcarbamoyl-propyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

2-{4-[2-Aminosulfonyl amino-3-(4-fluorophenyl)-propionyl]-3-propyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

Pyrrolidine-2-carboxylic acid (1-(4-fluorobenzyl)-2-{4-[2-(2-fluorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-2-oxo-ethyl)-amide;

Pyrrolidine-2-carboxylic acid (1-(4-fluorobenzyl)-2-{4-[2-(4-fluorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-2-oxo-ethyl)-amide;

Pyrrolidine-2-carboxylic acid (1-(4-fluorobenzyl)-2-{4-[2-(3,4-difluorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-2-oxo-ethyl)-amide;

N-[2-{4-[2-(3,4-Difluorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-isonicotinamide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(2,5-difluorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

4-Amino-cyclohexanecarboxylic acid [2-{4-[2-(3,4-difluorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(3,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(3,4-dichlorophenyl)-1-isopropylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(3-chlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(4-chlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(2-chlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(2,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(4-chlorophenyl)-1-(2-fluoroethylcarbamoyl)-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide; and

Pyrrolidine-2-carboxylic acid (1-(4-fluorobenzyl)-2-{4-[2-(2-fluorophenyl)-1-methyl-carbamoyl-ethyl]-2-methyl-piperazin-1-yl}-2-oxo-ethyl)-amide.

26. A compound according to Claim 1 selected from the group consisting of:

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(3,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-ethyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Amino-cylopropanecarboxylic acid {2-{4-{2-(3,4-dichlorophenyl)-1-methyl-carbamoyl-ethyl]-2-methyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Amino-cylopropanecarboxylic acid {2-{4-{2-(4-chlorophenyl)-1-methylcarbamoyl-ethyl]-2-methyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(4-chlorophenyl)-1-(2-fluoroethylcarbamoyl)-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Methylamino-cyclopropanecarboxylic acid [2-{4-[2-(4-chlorophenyl)-1-(2-fluoroethylcarbamoyl)-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(4-chlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Methylamino-cyclopropanecarboxylic acid [2-{4-[2-(4-chlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(2,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Methylamino-cyclopropanecarboxylic acid [2-{4-[2-(2,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

1-Amino-cyclopropanecarboxylic acid (1-(4-fluorobenzyl)-2-{4-[2-(2-fluorophenyl)-1-methylcarbamoyl-ethyl]-2-methyl-piperazin-1-yl}-2-oxo-ethyl)-amide;

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(3,4-difluorophenyl)-1-methyl-carbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide; and

1-Methylamino-cyclopropanecarboxylic acid [2-{4-[2-(3,4-difluorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide.

27. A compound according to Claim 1 selected from the group consisting of:

2-{3-Ethyl-4-[3-(4-fluorophenyl)-2-(2-methoxy-acetylamino)-propionyl]-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

[2-[2-Ethyl-4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl)-piperazin-1-yl]-1-(4-fluorobenzyl)-2-oxo-ethyl]-carbamic acid methyl ester;

3-(3,4-Dichlorophenyl)-2-{4-[3-(4-fluorophenyl)-2-(2-methyl-2-methylamino-propionyl amino)-propionyl}-3-methyl-piperazin-1-yl}-N-methyl-propionamide;

3-(3,4-Dichlorophenyl)-2-{4-[3-(4-fluorophenyl)-2-(2-methylamino-propionylamino)-propionyl]-3-methyl-piperazin-1-yl}-N-methyl-propionamide;

3-(3,4-Dichlorophenyl)-2-{4-[2-(2-dimethylamino-acetylamino)-3-(4-fluorophenyl)-propionyl]-3-methyl-piperazin-1-yl}-N-methyl-propionamide;

2-{4-[3-(4-Fluorophenyl)-2-methylamino-propionyl]-2-oxo-3-propyl-piperazin-1-yl}-3-naphthalen-2-yl-N-(2,2,2-trifluoroethyl)-propionamide;

[2-{4-[2-(3,4-Dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-carbamic acid methyl ester;

[2-{4-[2-(2-Chlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-carbamic acid methyl ester;

[2-{4-[2-(4-Chlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-carbamic acid methyl ester;

[2-{4-[2-(3-Chlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-carbamic acid methyl ester;

3-(4-Chlorophenyl)-2-{4-[3-(4-fluorophenyl)-2-(2-hydroxy-2-methyl-propionylamino)-propionyl]-3-propyl-piperazin-1-yl}-N-methyl-propionamide;

3-(3-Chlorophenyl)-2-{4-[3-(4-fluorophenyl)-2-(2-hydroxy-2-methyl-propionylamino)-propionyl]-3-propyl-piperazin-1-yl}-N-methyl-propionamide;

3-(2,4-Dichlorophenyl)-2-{4-[3-(4-fluorophenyl)-2-(2-hydroxy-2-methyl-propionyl-amino)-propionyl]-3-propyl-piperazin-1-yl}-N-methyl-propionamide;

{1-(4-Fluorobenzyl)-2-[4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl)-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-carbamic acid methyl ester;

2-{4-[3-(4-Fluorophenyl)-2-(2-hydroxy-2-methyl-propionylamino)-propionyl]-3-propyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[3-(4-Chlorophenyl)-2-methylamino-propionyl]-3-propyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[3-(4-Fluorophenyl)-2-(2-methylamino-acetylamino)-propionyl]-3-methyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide; and

[2-{4-[2-(2,4-Dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-propyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-carbamic acid methyl ester.

28. A compound according to Claim 1 selected from the group consisting of:

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3,4-dichlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(2-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(4-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(2-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(4-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3,4-dichlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(2-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(3-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(4-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(2-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(3-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(4-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3,4-dichlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(2-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(4-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(2-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(4-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3,4-dichlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(2-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(3-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(4-chlorophenyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(2-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(3-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide; and

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(4-chlorophenyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide.

29. A compound according to Claim 1 selected from the group consisting of:

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3,4-dichlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(2-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(4-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(2-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(4-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3,4-dichlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(2-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(3-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(4-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(2-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(3-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

1,2,3,4-Tetrahydro-isoquinoline-3-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(4-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3,4-dichlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(2-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(4-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(2-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(4-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-chlorobenzyl)-2-{4-[2-(3,4-dichlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(2-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(3-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(4-chlorobenzyl)-ethyl)-3-oxo-2-propyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(2-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide;

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(3-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide; and

Pyrrolidine-2-carboxylic acid {1-(4-fluorobenzyl)-2-{4-[2-(4-chlorobenzyl)-ethyl)-3-oxo-2-cyclopropylmethyl-piperazin-1-yl]-2-oxo-ethyl}-amide.

30. A compound according to Claim 1 selected from the group consisting of:

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(2-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(3-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(2,4-dichlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-chlorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(2-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-chlorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(3-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-chlorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-chlorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(2,4-dichlorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-chlorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-N-methyl-3-naphthalen-2-yl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(2-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(3-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(2-fluorophenyl)-N-methyl-propionamide;

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(2,4-difluorophenyl)-N-methyl-propionamide; and

2-{4-[2-Amino-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-N-methyl-3-naphthalen-2-yl-propionamide.

31. A compound according to Claim 1 selected from the group consisting of :

Pyrrolidine-2-carboxylic acid {1-(4-fluoro-benzyl)-2-[2-methoxymethyl-4-(1-methyl carbamoyl-2-naphthalen-2-yl-ethyl)-piperazin-1-yl]-2-oxo-ethyl}-amide;

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(3,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-methoxymethyl-piperazine-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]-amide;

Pyrrolidine-2-carboxylic acid[2-{4-[2-(3,4-dichlorophenyl)-1-methylcarbamoyl-ethyl]-2-methoxymethyl-piperazin-1-yl}-1-(4-fluorobenzyl)-2-oxo-ethyl]amide;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-methoxy-methylpiperazin-1-yl}-N-methyl-3-naphthalen-2yl-propionamide;

{1-(4-Fluorobenzyl)-2-[2-methoxymethyl-4-(1-methylcarbamoyl-2-naphthalen-2-yl-ethyl)-piperazin-1-yl]-2-oxo-ethyl}-carbamic acid methyl ester;

2-{4-[3-(4-Fluorophenyl)-2-(2-hydroxy-2-methyl-propionylamino)-propionyl]-3-methoxy methyl-piperazin-1-yl}-N-methyl-3-naphthalen-2-yl-propionamide;

{1-(4-Fluoro-benzyl)-2-[2-methoxymethyl-4-(1-methylcarbamoyl-2-maphthalen-2-yl-ethyl)-piperazin-1-yl]-2-oxo-ethyl}-carbamic acid ethyl ester;

2-{4-[2-(2-Amino-2-methyl-propionylamino)-3-(4-fluorophenyl)-propionyl]-3-methoxymethyl-piperazin-1-yl}-3-(4-chlorophenyl)-N-methyl-propionamide;

Pyrrolidine-2-carboxylic acid [2-{4-[2-(4-chlorophenyl)-1-methylcarbamoyl-ethyl]-2-methoxymethyl-piperazin-1-yl}-1-(4-fluoro-benzyl)-2-oxo-ethyl]-amide;

1-Amino-cyclopropanecarboxylic acid [2-{4-[2-(4-chlorophenyl)-1-methyl-carbamoyl-ethyl]-2-methoxymethyl-piperazin-1-yl}-1-(4-fluoro-benzyl)-2-oxo-ethyl]-amide;

1-Methylamino-cyclopropanecarboxylic acid [2-{4-[2-(4-chlorophenyl)-1-methyl-carbamoyl-ethyl]-2-methoxymethyl-piperazin-1-yl}-1-(4-fluoro-benzyl)-2-oxo-ethyl]-amide;

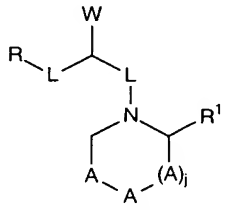
3-(4-Chlorophenyl)-2-{4-[3-(4-fluorophenyl)-2-methylamino-propionyl]-3-methoxymethyl-piperazin-1-yl}-N-methyl-propionamide;

3-(4-Chlorophenyl)-N-(2-fluoro-ethyl)-2-{4-[3-(4-fluorophenyl)-2-methylamino-propionyl]-3-methoxymethyl-piperazin-1-yl}-propionamide; and

3-(4-Chlorophenyl)-2-{4-[3-(4-fluorophenyl)-2-methylamino-propionyl]-3-methoxy-methyl-piperazin-1-yl}-N-(2,2,2-trifluoroethyl)-propionamide.

32. A composition comprising:

A) an effective amount of one or more compounds, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein L represents linking units each of which is independently selected from the group consisting of:

a) $-(R^2)_p(CH=CH)_q-$;

b) $-(R^2)_y(X)_zC(Y)_w(X)_z(R^2)_y-$;

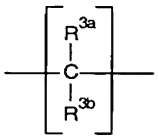
c) $-(R^2)_y(X)_zS(Y)_k(X)_z(R^2)_y-$;

d) $-(R^2)_y(Z)_mNR^4(Z)_m(R^2)_y-$;

e) $-(R^2)_y(O)_zP(T)_k(O)_z(R^2)_y-$;

wherein T is =O, $-OR^4$, and mixtures thereof; wherein X is –O–, –S–, $-NR^4-$; Y is =O, =S, $=NR^4$, $-R^4$, and mixtures thereof; Z is =N–, $-NR^4-$, and mixtures thereof; the index k is from 0 to 2; the index m is 0 or 1; the index p is from 0 to 12; the index q is from 0 to 3; the index w is from 0 to 2; the index y is 0 or 1; the index z is 0 or 1;

each R^2 is independently a substituted or unsubstituted methylene unit represented by the formula:



wherein R^{3a} and R^{3b} are each independently selected from the group consisting of:

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocycle;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

xxxii) an R^{3a} and an R^{3b} unit from the same carbon atom can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 8 atoms;

xxxiii) an R^{3a} or R^{3b} unit from a first R^2 unit can be taken together with an R^{3a} or R^{3b} unit from a second R^2 unit to form a carbocyclic or heterocyclic ring comprising from 3 to 8 atoms;

xxxiv) and mixtures thereof;

R^9 is hydrogen, fluorine, chlorine, bromine, iodine, and mixtures thereof; each R^{11} is hydrogen or R^{10}; the index n has the value from 0 to 10.

R^4 units are hydrocarbyl units each of which is independently selected from the group consisting of:

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocycle;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

R is a substituted or unsubstituted hydrocarbyl unit selected from the group consisting of:

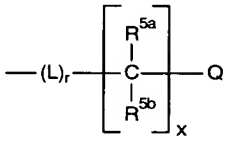
a) non-aromatic carbocyclic rings;

b) aromatic carbocyclic rings;

c) non-aromatic heterocyclic rings;

d) aromatic heterocyclic rings;

W is a pendant unit having the formula:



wherein the index r is 0 or 1 and the index x is from 0 to 10;

Q is:

a) hydrogen;

b) $-N(R^4)_2$;

c) $-OR^4$;

d) a unit which comprises a substituted or unsubstituted unit selected from the group consisting of:

i) non-aromatic carbocyclic rings;

ii) aromatic carbocyclic rings;

iii) non-aromatic heterocyclic rings;

iv) aromatic heterocyclic rings;

wherein the number of rings is from 1 to 3;

R^{5a} and R^{5b} are each independently selected from the group consisting of

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:
  a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;
  b) C_3-C_{12} substituted or unsubstituted cycloalkyl;
  c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;
  d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;
  e) C_6-C_{12} substituted or unsubstituted aryl;
  f) C_1-C_{12} substituted or unsubstituted heterocyclyl;
  g) C_3-C_{12} substituted or unsubstituted heteroaryl;
  h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

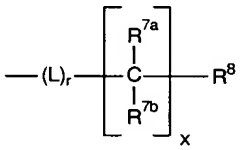
xxxii) R^{5a} and R^{5b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 10 atoms;

xxxiii) and mixtures thereof;

R^1 is substituted or unsubstituted C_1-C_{12} linear or branched alkyl, C_3-C_8 cyclic alkyl, C_2-C_{12} linear or branched alkenyl, or $-[C(R^9)_2]_nC(R^9)_3$; R^9 is hydrogen, fluorine, chlorine, bromine, iodine, and mixtures thereof; the index n has the value from 0 to 10 as defined herein above;

A, A^1, and A^2 are ring components each of which is independently selected from the group consisting of $-C(=NR^6)-$, $-C(=O)-$, $-C(=S)-$, $-C(R^6)_2-$, $-C(R^6)_2C(R^6)_2-$, $-CR^6=$, $-N=$, $-NR^6-$, or two A units can be taken together with an adjacent atom or a unit to form a bond having the formula $-N=N-$, $-N-NR^6-$, $-CR^6=N-$, $-C=N-$, and mixtures thereof; the index j is 0 or 1;

R^6 is hydrogen, R^4, or the pendant unit W^1 having the formula:



wherein the index r is equal to 0 or 1;

R^{7a} and R^{7b} are each independently selected from the group consisting of

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

- a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;
- b) C_3-C_{12} substituted or unsubstituted cycloalkyl;
- c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;
- d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;
- e) C_6-C_{12} substituted or unsubstituted aryl;
- f) C_1-C_{12} substituted or unsubstituted heterocyclyl;
- g) C_3-C_{12} substituted or unsubstituted heteroaryl;
- h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

xxxii) and mixtures thereof;

R^8 is selected from the group consisting of:

i) hydrogen;

ii) C_3-C_8 non-aromatic carbocyclic rings;

iii) C_6-C_{14} aromatic carbocyclic rings;

iv) C_1-C_7 non-aromatic heterocyclic rings;

v) C_3-C_{13} aromatic heterocyclic rings;

vi) $-C(Y)R^4$;

vii) $-C(Y)_2R^4$;

viii) $-C(Y)N(R^4)_2$;

ix) $-C(Y)NR^4N(R^4)_2$;

x) -CN;

xi) -CNO;

xii) $-[C(R^9)_2]C(R^9)_2$;

xiii) $-N(R^4)_2$;

xiv) $-NR^4CN$;

xv) $-NR^4C(Y)R^4$;

xvi) $-NR^4C(Y)N(R^4)_2$;

xvii) $-NHN(R^4)_2$;

xviii) $-NHOR^4$;

xix) -NCS;

xx) $-NO_2$;

xxi) $-OR^4$;

xxii) -OCN;

xxiii) $-OCF_3$, $-OCCl_3$, $-OCBr_3$;

xxiv) -F, -Cl, -Br, -I, and mixtures thereof;

xxv) -SCN;

xxvi) $-SO_3M$;

xxvii) $-OSO_3M$;

xxviii) $-SO_2N(R^4)_2$;

xxix) $-SO_2R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxxi) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxii) and mixtures thereof;

each R^{10} is independently selected from:

i) $-[C(R^4)_2]_p(CH=CH)_qR^4$; wherein p is from 0 to 12; q is from 0 to 12;

ii) $-C(X)R^4$;

iii) $-C(X)_2R^4$;

iv) $-C(X)CH=CH_2$;

v) $-C(X)N(R^4)_2$;

vi) $-C(X)NR^4N(R^4)_2$;

vii) -CN;

viii) -CNO;

ix) $-CF_3$, $-CCl_3$, $-CBr_3$;

x) $-N(R^4)_2$;

xi) $-NR^4CN$;

xii) $-NR^4C(X)R^4$;

xiii) $-NR^4C(X)N(R^4)_2$;

xiv) $-NHN(R^4)_2$;

xv) $-NHOR^4$;

xvi) -NCS;

xvii) $-NO_2$;

xviii) $-OR^4$;

xix) -OCN;

xx) $-OCF_3$, $-OCCl_3$, $-OCBr_3$;

xxi) -F, -Cl, -Br, -I, and mixtures thereof;

xxii) -SCN;

xxiii) $-SO_3M$;

xxiv) $-OSO_3M$;

xxv) $-SO_2N(R^4)_2$;

xxvi) $-SO_2R^4$;

xxvii) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

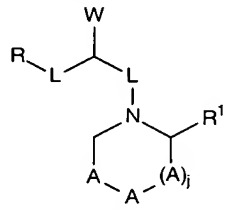
xxviii) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxix) and mixtures thereof;

wherein M is hydrogen, or a salt forming cation; and

B) one or more pharmaceutically acceptable excipients.

33. A method for controlling obesity in humans and higher mammals, said method comprising the step of administering to a human or higher mammal a composition comprising one or more compounds, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compounds having the formula:



wherein L represents linking units each of which is independently selected from the group consisting of:

a) $-(R^2)_p(CH=CH)_q-$;

b) $-(R^2)_y(X)_zC(Y)_w(X)_z(R^2)_y-$;

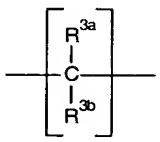
c) $-(R^2)_y(X)_zS(Y)_k(X)_z(R^2)_y-$;

d) $-(R^2)_y(Z)_mNR^4(Z)_m(R^2)_y-$;

e) $-(R^2)_y(O)_zP(T)_k(O)_z(R^2)_y-$;

wherein T is =O, $-OR^4$, and mixtures thereof; wherein X is –O–, –S–, $-NR^4-$; Y is =O, =S, $=NR^4$, $-R^4$, and mixtures thereof; Z is =N–, $-NR^4-$, and mixtures thereof; the index k is from 0 to 2; the index m is 0 or 1; the index p is from 0 to 12; the index q is from 0 to 3; the index w is from 0 to 2; the index y is 0 or 1; the index z is 0 or 1;

each R^2 is independently a substituted or unsubstituted methylene unit represented by the formula:



wherein R^{3a} and R^{3b} are each independently selected from the group consisting of:

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocycle;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

xxxii) an R^{3a} and an R^{3b} unit from the same carbon atom can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 8 atoms;

xxxiii) an R^{3a} or R^{3b} unit from a first R^2 unit can be taken together with an R^{3a} or R^{3b} unit from a second R^2 unit to form a carbocyclic or heterocyclic ring comprising from 3 to 8 atoms;

xxxiv) and mixtures thereof;

R^9 is hydrogen, fluorine, chlorine, bromine, iodine, and mixtures thereof; each R^{11} is hydrogen or R^{10}; the index n has the value from 0 to 10.

R^4 units are hydrocarbyl units each of which is independently selected from the group consisting of:

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocycle;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

R is a substituted or unsubstituted hydrocarbyl unit selected from the group consisting of:

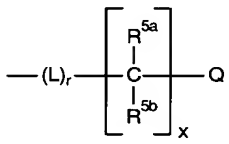
a) non-aromatic carbocyclic rings;

b) aromatic carbocyclic rings;

c) non-aromatic heterocyclic rings;

d) aromatic heterocyclic rings;

W is a pendant unit having the formula:



wherein the index r is 0 or 1 and the index x is from 0 to 10;

Q is:

a) hydrogen;

b) $-N(R^4)_2$;

c) $-OR^4$;

d) a unit which comprises a substituted or unsubstituted unit selected from the group consisting of:

i) non-aromatic carbocyclic rings;

ii) aromatic carbocyclic rings;

iii) non-aromatic heterocyclic rings;

iv) aromatic heterocyclic rings;

wherein the number of rings is from 1 to 3;

R^{5a} and R^{5b} are each independently selected from the group consisting of

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocyclyl;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH{=}CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

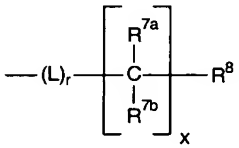
xxxii) R^{5a} and R^{5b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 10 atoms;

xxxiii) and mixtures thereof;

R^1 is substituted or unsubstituted C_1-C_{12} linear or branched alkyl, C_3-C_8 cyclic alkyl, C_2-C_{12} linear or branched alkenyl, or $-[C(R^9)_2]_nC(R^9)_3$; R^9 is hydrogen, fluorine, chlorine, bromine, iodine, and mixtures thereof; the index n has the value from 0 to 10 as defined herein above;

A, A^1, and A^2 are ring components each of which is independently selected from the group consisting of $-C(=NR^6)-$, $-C(=O)-$, $-C(=S)-$, $-C(R^6)_2-$, $-C(R^6)_2C(R^6)_2-$, $-CR^6=$, $-N=$, $-NR^6-$, or two A units can be taken together with an adjacent atom or a unit to form a bond having the formula $-N=N-$, $-N-NR^6-$, $-CR^6=N-$, $-C=N-$, and mixtures thereof; the index j is 0 or 1;

R^6 is hydrogen, R^4, or the pendant unit W^1 having the formula:



wherein the index r is equal to 0 or 1;

R^{7a} and R^{7b} are each independently selected from the group consisting of

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocyclyl;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

xxxii) and mixtures thereof;

R^8 is selected from the group consisting of:

i) hydrogen;

ii) C_3-C_8 non-aromatic carbocyclic rings;

iii) C_6-C_{14} aromatic carbocyclic rings;

iv) C_1-C_7 non-aromatic heterocyclic rings;

v) C_3-C_{13} aromatic heterocyclic rings;

vi) $-C(Y)R^4$;

vii) $-C(Y)_2R^4$;

viii) $-C(Y)N(R^4)_2$;

ix) $-C(Y)NR^4N(R^4)_2$;

x) -CN;

xi) -CNO;

xii) $-[C(R^9)_2]C(R^9)_2$;

xiii) $-N(R^4)_2$;

xiv) $-NR^4CN$;

xv) $-NR^4C(Y)R^4$;

xvi) $-NR^4C(Y)N(R^4)_2$;

xvii) $-NHN(R^4)_2$;

xviii) $-NHOR^4$;

xix) -NCS;

xx) $-NO_2$;

xxi) $-OR^4$;

xxii) -OCN;

xxiii) $-OCF_3$, $-OCCl_3$, $-OCBr_3$;

xxiv) -F, -Cl, -Br, -I, and mixtures thereof;

xxv) -SCN;

xxvi) $-SO_3M$;

xxvii) $-OSO_3M$;

xxviii) $-SO_2N(R^4)_2$;

xxix) $-SO_2R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxxi) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxii) and mixtures thereof;

each R^{10} is independently selected from:

i) $-[C(R^4)_2]_p(CH=CH)_qR^4$; wherein p is from 0 to 12; q is from 0 to 12;

ii) $-C(X)R^4$;

iii) $-C(X)_2R^4$;

iv) $-C(X)CH=CH_2$;

v) $-C(X)N(R^4)_2$;

vi) $-C(X)NR^4N(R^4)_2$;

vii) -CN;

viii) -CNO;

ix) $-CF_3$, $-CCl_3$, $-CBr_3$;

x) $-N(R^4)_2$;

xi) $-NR^4CN$;

xii) $-NR^4C(X)R^4$;

xiii) $-NR^4C(X)N(R^4)_2$;

xiv) $-NHN(R^4)_2$;

xv) $-NHOR^4$;

xvi) -NCS;

xvii) $-NO_2$;

xviii) $-OR^4$;

xix) -OCN;

xx) $-OCF_3$, $-OCCl_3$, $-OCBr_3$;

xxi) -F, -Cl, -Br, -I, and mixtures thereof;

xxii) -SCN;

xxiii) $-SO_3M$;

xxiv) $-OSO_3M$;

xxv) $-SO_2N(R^4)_2$;

xxvi) $-SO_2R^4$;

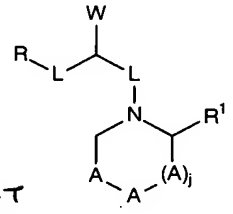
xxvii) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxviii) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxix) and mixtures thereof;

wherein M is hydrogen, or a salt forming cation.

34. A method for controlling appetite in humans and higher mammals, said method comprising the step of administering to a human or higher mammal a composition comprising one or more compounds, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compounds having the formula:



LINKING UNIT

wherein L represents ~~a linking unit~~ each of which is independently selected from the group consisting of:

a) $-(R^2)_p(CH=CH)_q-$;

b) $-(R^2)_y(X)_zC(Y)_w(X)_z(R^2)_y-$;

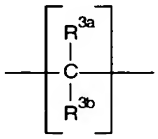
c) $-(R^2)_y(X)_zS(Y)_k(X)_z(R^2)_y-$;

d) $-(R^2)_y(Z)_mNR^4(Z)_m(R^2)_y-$;

e) $-(R^2)_y(O)_zP(T)_k(O)_z(R^2)_y-$;

wherein T is =O, -OR^4, and mixtures thereof; wherein X is –O–, –S–, –NR^4–; Y is =O, =S, =NR^4, –R^4, and mixtures thereof; Z is =N–, –NR^4–, and mixtures thereof; the index k is from 0 to 2; the index m is 0 or 1; the index p is from 0 to 12; the index q is from 0 to 3; the index w is from 0 to 2; the index y is 0 or 1; the index z is 0 or 1;

each R^2 is independently a substituted or unsubstituted methylene unit represented by the formula:



wherein R^{3a} and R^{3b} are each independently selected from the group consisting of:

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocycle;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) -$[C(R^{11})_2]_nCOR^4$;

iv) -$[C(R^{11})_2]_nCOOR^4$;

v) -$[C(R^{11})_2]_nCOCH{=}CH_2$;

vi) -$[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) -$[C(R^{11})_2]_nCON(R^4)_2$;

viii) -$[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) -$[C(R^{11})_2]_nCN$;

x) -$[C(R^{11})_2]_nCNO$;

xi) -$[C(R^{11})_2]_nCF_3$, -$[C(R^{11})_2]_nCCl_3$, -$[C(R^{11})_2]_nCBr_3$;

xii) -$[C(R^{11})_2]_nN(R^4)_2$;

xiii) -$[C(R^{11})_2]_nNR^4COR^4$;

xiv) -$[C(R^{11})_2]_nNR^4CN$;

xv) -$[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) -$[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) -$[C(R^{11})_2]_nNHOR^4$;

xviii) -$[C(R^{11})_2]_nNCS$;

xix) -$[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

xxxii) an R^{3a} and an R^{3b} unit from the same carbon atom can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 8 atoms;

xxxiii) an R^{3a} or R^{3b} unit from a first R^2 unit can be taken together with an R^{3a} or R^{3b} unit from a second R^2 unit to form a carbocyclic or heterocyclic ring comprising from 3 to 8 atoms;

xxxiv) and mixtures thereof;

R^9 is hydrogen, fluorine, chlorine, bromine, iodine, and mixtures thereof; each R^{11} is hydrogen or R^{10}; the index n has the value from 0 to 10.

R^4 units are hydrocarbyl units each of which is independently selected from the group consisting of:

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

- a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;
- b) C_3-C_{12} substituted or unsubstituted cycloalkyl;
- c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;
- d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;
- e) C_6-C_{12} substituted or unsubstituted aryl;
- f) C_1-C_{12} substituted or unsubstituted heterocycle;
- g) C_3-C_{12} substituted or unsubstituted heteroaryl;
- h) and mixtures thereof;

R is a substituted or unsubstituted hydrocarbyl unit selected from the group consisting of:

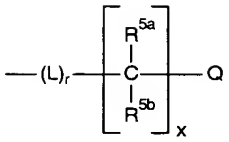
a) non-aromatic carbocyclic rings;

b) aromatic carbocyclic rings;

c) non-aromatic heterocyclic rings;

d) aromatic heterocyclic rings;

W is a pendant unit having the formula:



wherein the index r is 0 or 1 and the index x is from 0 to 10;

Q is:

a) hydrogen;

b) $-N(R^4)_2$;

c) $-OR^4$;

d) a unit which comprises a substituted or unsubstituted unit selected from the group consisting of:

i) non-aromatic carbocyclic rings;

ii) aromatic carbocyclic rings;

iii) non-aromatic heterocyclic rings;

iv) aromatic heterocyclic rings;

wherein the number of rings is from 1 to 3;

R^{5a} and R^{5b} are each independently selected from the group consisting of

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocyclyl;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

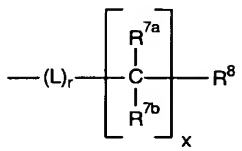
xxxii) R^{5a} and R^{5b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 10 atoms;

xxxiii) and mixtures thereof;

R^1 is substituted or unsubstituted C_1-C_{12} linear or branched alkyl, C_3-C_8 cyclic alkyl, C_2-C_{12} linear or branched alkenyl, or $-[C(R^9)_2]_nC(R^9)_3$; R^9 is hydrogen, fluorine, chlorine, bromine, iodine, and mixtures thereof; the index n has the value from 0 to 10 as defined herein above;

A, A^1, and A^2 are ring components each of which is independently selected from the group consisting of $-C(=NR^6)-$, $-C(=O)-$, $-C(=S)-$, $-C(R^6)_2-$, $-C(R^6)_2C(R^6)_2-$, $-CR^6=$, $-N=$, $-NR^6-$, or two A units can be taken together with an adjacent atom or a unit to form a bond having the formula $-N=N-$, $-N-NR^6-$, $-CR^6=N-$, $-C=N-$, and mixtures thereof; the index j is 0 or 1;

R^6 is hydrogen, R^4, or the pendant unit W^1 having the formula:



wherein the index r is equal to 0 or 1;

R^{7a} and R^{7b} are each independently selected from the group consisting of

i) hydrogen;

ii) C_1-C_{12} hydrocarbyl selected from the group consisting of:

a) C_1-C_{12} linear or branched, substituted or unsubstituted alkyl;

b) C_3-C_{12} substituted or unsubstituted cycloalkyl;

c) C_2-C_{12} linear or branched, substituted or unsubstituted alkenyl;

d) C_3-C_{12} substituted or unsubstituted cycloalkenyl;

e) C_6-C_{12} substituted or unsubstituted aryl;

f) C_1-C_{12} substituted or unsubstituted heterocyclyl;

g) C_3-C_{12} substituted or unsubstituted heteroaryl;

h) and mixtures thereof;

iii) $-[C(R^{11})_2]_nCOR^4$;

iv) $-[C(R^{11})_2]_nCOOR^4$;

v) $-[C(R^{11})_2]_nCOCH=CH_2$;

vi) $-[C(R^{11})_2]_nC(=NR^4)N(R^4)_2$;

vii) $-[C(R^{11})_2]_nCON(R^4)_2$;

viii) $-[C(R^{11})_2]_nCONR^4N(R^4)_2$

ix) $-[C(R^{11})_2]_nCN$;

x) $-[C(R^{11})_2]_nCNO$;

xi) $-[C(R^{11})_2]_nCF_3$, $-[C(R^{11})_2]_nCCl_3$, $-[C(R^{11})_2]_nCBr_3$;

xii) $-[C(R^{11})_2]_nN(R^4)_2$;

xiii) $-[C(R^{11})_2]_nNR^4COR^4$;

xiv) $-[C(R^{11})_2]_nNR^4CN$;

xv) $-[C(R^{11})_2]_nNR^4C(=NR^4)N(R^4)_2$;

xvi) $-[C(R^{11})_2]_nNHN(R^4)_2$;

xvii) $-[C(R^{11})_2]_nNHOR^4$;

xviii) $-[C(R^{11})_2]_nNCS$;

xix) $-[C(R^{11})_2]_nNO_2$;

xx) $-[C(R^{11})_2]_nOR^4$;

xxi) $-[C(R^{11})_2]_nOCN$;

xxii) $-[C(R^{11})_2]_nOCF_3$, $-[C(R^{11})_2]_nOCCl_3$, $-[C(R^{11})_2]_nOCBr_3$;

xxiii) F, Cl, Br, I, and mixtures thereof;

xxiv) $-[C(R^{11})_2]_nSO_3M$;

xxv) $-[C(R^{11})_2]_nOSO_3M$;

xxvi) $-[C(R^{11})_2]_nSCN$;

xxvii) $-[C(R^{11})_2]_nSO_2N(R^4)_2$;

xxviii) $-[C(R^{11})_2]_nSO_2R^4$;

xxix) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxi) haloalkyl having the formula $-[C(R^9)_2]_nC(R^9)_3$;

xxxii) and mixtures thereof;

R^8 is selected from the group consisting of:

i) hydrogen;

ii) C_3-C_8 non-aromatic carbocyclic rings;

iii) C_6-C_{14} aromatic carbocyclic rings;

iv) C_1-C_7 non-aromatic heterocyclic rings;

v) C_3-C_{13} aromatic heterocyclic rings;

vi) $-C(Y)R^4$;

vii) $-C(Y)_2R^4$;

viii) $-C(Y)N(R^4)_2$;

ix) $-C(Y)NR^4N(R^4)_2$;

x) -CN;

xi) -CNO;

xii) $-[C(R^9)_2]C(R^9)_2$;

xiii) $-N(R^4)_2$;

xiv) $-NR^4CN$;

xv) $-NR^4C(Y)R^4$;

xvi) $-NR^4C(Y)N(R^4)_2$;

xvii) $-NHN(R^4)_2$;

xviii) $-NHOR^4$;

xix) -NCS;

xx) $-NO_2$;

xxi) $-OR^4$;

xxii) -OCN;

xxiii) $-OCF_3$, $-OCCl_3$, $-OCBr_3$;

xxiv) -F, -Cl, -Br, -I, and mixtures thereof;

xxv) -SCN;

xxvi) $-SO_3M$;

xxvii) $-OSO_3M$;

xxviii) $-SO_2N(R^4)_2$;

xxix) $-SO_2R^4$;

xxx) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;

xxxi) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;

xxxii) and mixtures thereof;

each R^{10} is independently selected from:

i) $-[C(R^4)_2]_p(CH=CH)_qR^4$; wherein p is from 0 to 12; q is from 0 to 12;

ii) $-C(X)R^4$;
iii) $-C(X)_2R^4$;
iv) $-C(X)CH=CH_2$;
v) $-C(X)N(R^4)_2$;
vi) $-C(X)NR^4N(R^4)_2$;
vii) -CN;
viii) -CNO;
ix) $-CF_3$, $-CCl_3$, $-CBr_3$;
x) $-N(R^4)_2$;
xi) $-NR^4CN$;
xii) $-NR^4C(X)R^4$;
xiii) $-NR^4C(X)N(R^4)_2$;
xiv) $-NHN(R^4)_2$;
xv) $-NHOR^4$;
xvi) -NCS;
xvii) $-NO_2$;
xviii) $-OR^4$;
xix) -OCN;
xx) $-OCF_3$, $-OCCl_3$, $-OCBr_3$;
xxi) -F, -Cl, -Br, -I, and mixtures thereof;
xxii) -SCN;
xxiii) $-SO_3M$;
xxiv) $-OSO_3M$;
xxv) $-SO_2N(R^4)_2$;
xxvi) $-SO_2R^4$;
xxvii) $-[C(R^{11})_2]_nP(O)(OR^4)R^4$;
xxviii) $-[C(R^{11})_2]_nP(O)(OR^4)_2$;
xxix) and mixtures thereof;

wherein M is hydrogen, or a salt forming cation.

35. A method for controlling one or more MC-4 mediated disorders in a human, said disorders selected from the group consisting of insulin resistance, glucose intolerance, Type-2 diabetes mellitus, coronary artery disease, elevated blood pressure, hypertension, dyslipidaemia, endometrial cancer, cervical cancer, ovarian cancer, breast cancer, prostate cancer, gallbladder cancer, colon cancer, menstrual irregularities, hirsutism, infertility, gallbladder disease, restrictive lung disease, sleep apnea, gout, osteoarthritis, and thromboembolic disease, said method comprising the step of administering to a human a composition comprising one or more compounds according to Claim 1.

36. A method for controlling one or more MC-4 mediated disorders in a human, said disorders selected from the group consisting of behavior conditions, memory and learning deficiencies, cardiovascular function, inflammation, sepsis, cardiogenic and hypovolemic shock, sexual dysfunction, penile erection, muscle atrophy, nerve growth and repair, intrauterine fetal growth, said method comprising the step of administering to a human a composition comprising one or more compounds according to Claim 1.

37. A method for controlling one or more disorders in a human, said disorders selected from the group consisting of behavior conditions, memory and learning deficiencies, cardiovascular function, inflammation, sepsis, cardiogenic and hypovolemic shock, sexual dysfunction, penile erection, muscle atrophy, nerve growth and repair, intrauterine fetal growth, said method comprising the step of administering to a human an effective amount of a MC-3 and/or MC-4 receptor ligand, said ligand serving as a receptor antagonist, said ligand is a compound according to Claim 1.

38. A method for controlling one or more disorders in a human, said disorders selected from the group consisting of insulin resistance, glucose intolerance, Type-2 diabetes mellitus, coronary artery disease, elevated blood pressure, hypertension, dyslipidaemia, endometrial cancer, cervical cancer, ovarian cancer, breast cancer, prostate cancer, gallbladder cancer, colon cancer, menstrual irregularities, hirsutism, infertility, gallbladder disease, restrictive lung disease, sleep apnea, gout, osteoarthritis, and thromboembolic disease, said method comprising the step of administering to a human an effective amount of a MC-3 and/or MC-4 receptor ligand, said ligand serving as a receptor antagonist, said ligand is a compound according to Claim 1.